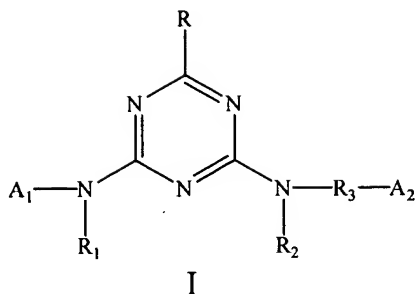


The claimed invention is:

1. A compound of Formula I:



- 5 or a solvate, hydrate, tautomer or pharmaceutically acceptable salt thereof, wherein

R is

-OH or -NHOR_a, wherein R_a is hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

A₁ is

- 10 a 5- to 6-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C₁₋₆ alkyl, amino, alkylamino, halogen, hydroxy, alkoxy, -OCO-alkyl, -OCO-alkylamino, -OCO-alkylamido, aryloxy, arylalkoxy, -CF₃, -OCF₃, -COR_a, -COOR_a, -CONR_aR_b, -NHCOR_aR_b, -NHSO₂R_a, -SO₂R_a, -SO₃R_a or -SO₂NR_aR_b, wherein R_a and R_b are independently hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

R₁ is

hydrogen, alkyl, hydroxy or alkoxy;

R₂ is

hydrogen, alkyl, carboxyalkyl, cycloalkyl, heterocyclalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, hydroxyalkyl, aminoalkyl, hydroxy, alkoxy or polyalkoxyalkyl;

R₃ is

a direct link or

C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, C₁₋₆ hydroxyalkyl or C₁₋₆ carboxyalkyl;

and

A₂ is

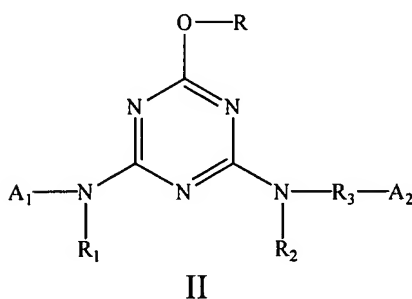
phenyl, naphthyl or biphenyl, each of which may be optionally substituted with one or more of C₁₋₄ alkyl, amino, aminoalkyl, halogen, hydroxy, -CF₃, alkoxy, aryloxy, arylalkoxy, -OCF₃, -COR_c, -COOR_c, -CONR_cR_d, -N(R₁)COR_c, -SO₂R_c, -SO₃R_c or -SO₂NR_cR_d;

a 5- to 7-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C₁₋₆ alkyl, amino, halogen, hydroxy, alkoxy, aryloxy, arylalkoxy, -CF₃, -OCF₃, -COR_c, -COOR_c, -CONR_cR_d, -NHCOR_cR_d, NH₂SO₂R_c, -SO₂R_c, -SO₃R_c or -SO₂NR_cR_d; or

-COR_c, -COOR_c or -CONR_cR_d, wherein

R_c and R_d are independently hydrogen, alkyl, cycloalkyl, aryl, aralkyl, heteroaralkyl or heteroaryl.

2. A compound of Formula II:



or a solvate, hydrate, tautomer or pharmaceutically acceptable salt thereof, wherein

R is

-COR_a, -CONR_aR_b, -SO₂R_a or -PO₃R_aR_b, wherein R_a and R_b are independently hydrogen, alkyl, cycloalkyl, polyalkoxyalkyl, aryl or aralkyl;

A₁ is

a 5- to 6-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C₁₋₆ alkyl, amino, alkylamino, halogen, hydroxy, alkoxy, -OCO-alkyl, -OCO-alkylamino, -OCO-alkylamido, aryloxy, arylalkoxy, -CF₃, -OCF₃, -COR_c, -COOR_c, -CONR_cR_d, -NHCOR_cR_d, -NH₂SO₂R_c, -SO₂R_c,

-SO₃R_c or -SO₂NR_cR_d, wherein R_c and R_d are independently hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

R₁ is
hydrogen, alkyl, hydroxy or alkoxy;

R₂ is
hydrogen, alkyl, carboxyalkyl, cycloalkyl, heterocyclalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, hydroxyalkyl, aminoalkyl, hydroxy, alkoxy or polyalkoxyalkyl;

R₃ is
a direct link or
C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, C₁₋₆ hydroxyalkyl or C₁₋₆ carboxyalkyl;
and

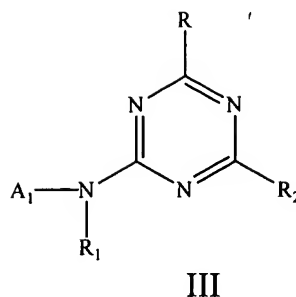
A₂ is
phenyl, naphthyl or biphenyl, each of which may be optionally substituted with one or more of C₁₋₄ alkyl, amino, aminoalkyl, halogen, hydroxy, -CF₃, alkoxy, aryloxy, arylalkoxy, -OCF₃, -COR_e, -COOR_e, -CONR_eR_f, -N(R₁)COR_e, -SO₂R_e, -SO₃R_e or -SO₂NR_eR_f;

a 5- to 7-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C₁₋₆ alkyl, amino, halogen, hydroxy, alkoxy, aryloxy, arylalkoxy, -CF₃, -OCF₃, -COR_e, -COOR_e, -CONR_eR_f, -NHCOR_eR_f, NHSO₂R_a, -SO₂R_a, -SO₃R_a or -SO₂NR_aR_b; or

-COR_e, -COOR_e or -CONR_eR_f, wherein

R_e and R_f are independently hydrogen, alkyl, cycloalkyl, aryl, aralkyl, heteroaralkyl or heteroaryl.

3. A compound of Formula III:



or a solvate, hydrate, tautomer or pharmaceutically acceptable salt thereof, wherein

R is

5 -OH or -NHOR_a, wherein R_a is hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

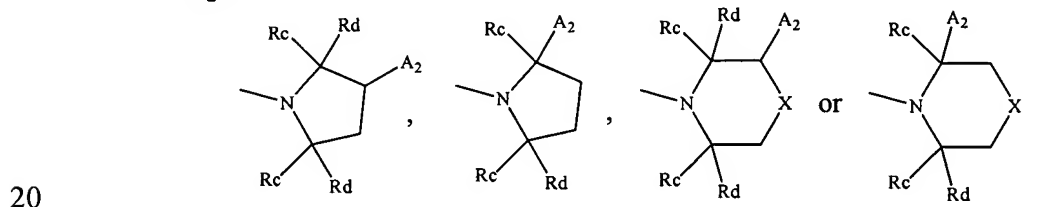
A₁ is

10 a 5- to 6-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C₁₋₆ alkyl, amino, alkylamino, halogen, hydroxy, alkoxy, -OCO-alkyl, -OCO-alkylamino, -OCO-alkylamido, aryloxy, arylalkoxy, -CF₃, -OCF₃, -COR_a, -COOR_a, -CONR_aR_b, -NHCOR_aR_b, -NHSO₂R_a, -SO₂R_a, -SO₃R_a or -SO₂NR_aR_b, wherein R_a and R_b are independently hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

15 R₁ is

hydrogen, alkyl, hydroxy or alkoxy; and

R₂ is



wherein

R_c and R_d are independently hydrogen or alkyl;

25 X is N, O or S; and

A₂ is

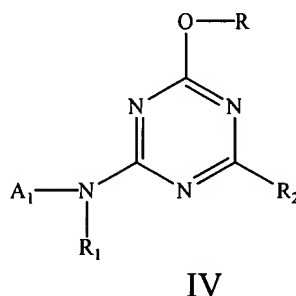
phenyl, naphthyl or biphenyl, each of which may be optionally substituted with one or more of C₁₋₄ alkyl, amino, aminoalkyl, halogen, hydroxy, -CF₃, alkoxy,

aryloxy, arylalkoxy, -OCF₃, -COR_e, -COOR_e, -CONR_eR_f, -N(R₁)COR_e, -SO₂R_e,
-SO₃R_e or -SO₂NR_eR_f; or

5 a 5- to 7-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring
having from one to four heteroatoms selected from N, O or S, and may be
optionally substituted with C₁₋₆ alkyl, amino, halogen, hydroxy, alkoxy, aryloxy,
arylalkoxy, -CF₃, -OCF₃, -COR_e, -COOR_e, -CONR_eR_f, -NHCOR_eR_f, NHSO₂R_e,
-SO₂R_e, -SO₃R_e or -SO₂NR_eR_f, wherein

10 R_e and R_f are independently hydrogen, alkyl, cycloalkyl, aryl, aralkyl,
heteroaralkyl or heteroaryl.

15 4. A compound of Formula IV:



or a solvate, hydrate, tautomer or pharmaceutically acceptable salt thereof, wherein

R is

20 -COR_a, -CONR_aR_b, -SO₂R_a or -PO₃R_aR_b, wherein R_a and R_b are independently
hydrogen, alkyl, cycloalkyl, polyalkoxyalkyl, aryl or aralkyl;

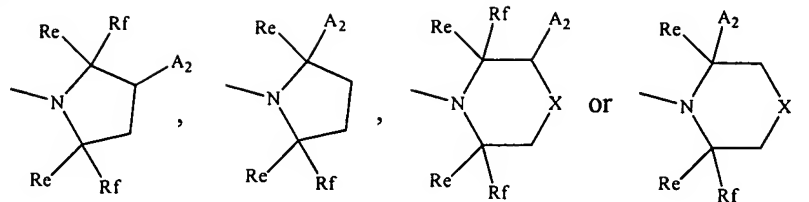
A₁ is

25 a 5- to 6-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring
having from one to four heteroatoms selected from N, O or S, and may be
optionally substituted with C₁₋₆ alkyl, amino, alkylamino, halogen, hydroxy,
alkoxy, -OCO-alkyl, -OCO-alkylamino, -OCO-alkylamido, aryloxy, arylalkoxy,
-CF₃, -OCF₃, -COR_c, -COOR_c, -CONR_cR_d, -NHCOR_cR_d, -NHSO₂R_c, -SO₂R_c,
-SO₃R_c or -SO₂NR_cR_d, wherein R_c and R_d are independently hydrogen, alkyl,
cycloalkyl, aryl or aralkyl;

30 R₁ is

hydrogen, alkyl, hydroxy or alkoxy; and

R₂ is



wherein

5 R_e and R_f are independently hydrogen or alkyl;

X is N, O or S; and

A₂ is

10 phenyl, naphthyl or biphenyl, each of which may be optionally substituted with one or more of C₁₋₄ alkyl, amino, aminoalkyl, halogen, hydroxy, -CF₃, alkoxy, aryloxy, arylalkoxy, -OCF₃, -COR_g, -COOR_g, -CONR_gR_h, -N(R₁)COR_g, -SO₂R_g, -SO₃R_g or -SO₂NR_gR_h; or

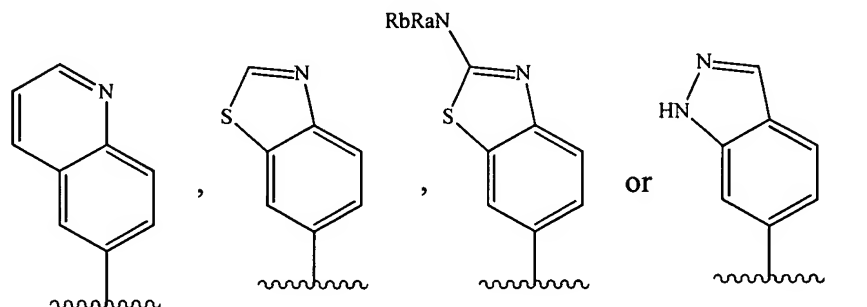
15 a 5- to 7-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C₁₋₆ alkyl, amino, halogen, hydroxy, alkoxy, aryloxy, arylalkoxy, -CF₃, -OCF₃, -COR_g, -COOR_g, -CONR_gR_h, -NHCOR_gR_h, NHSO₂R_g, -SO₂R_g, -SO₃R_g or -SO₂NR_gR_h, wherein

20

R_g and R_h are independently hydrogen, alkyl, cycloalkyl, aryl, aralkyl, heteroaralkyl or heteroaryl.

25 5. A compound of claim 1, wherein

A₁ is

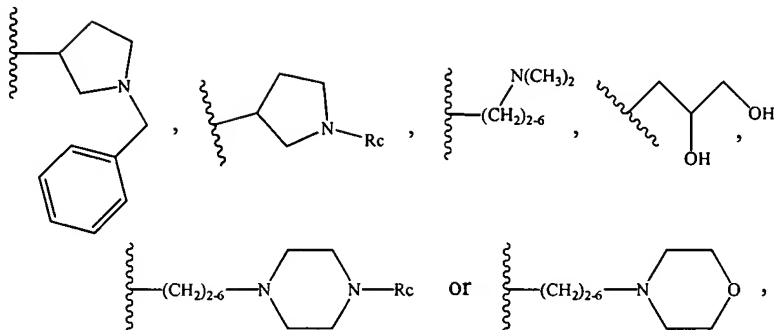


wherein R_a and R_b are independently -H, -C₁₋₆ alkyl, -CO₂-alkyl or -CO₂-CH₂CH₂NH₂;

R_1 is -H;

R_2 is
-H, -Me, -Et,

5



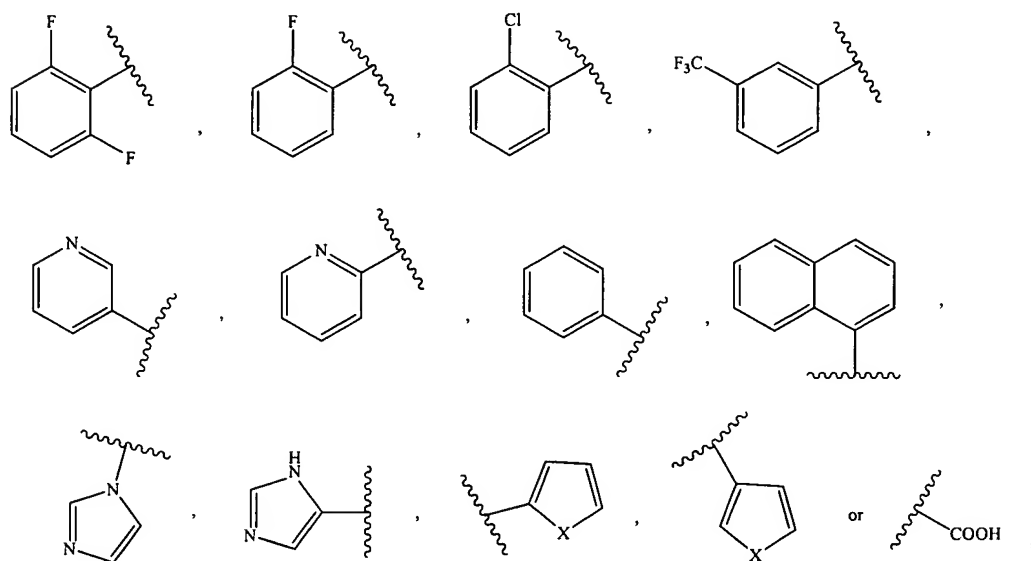
wherein R_c is alkyl;

R_3 is
-CH₂-, -CH₂CH₂-, -CH(CH₃)-, -C(CH₃)₂-, -CH(CH₂OH)- or
-CH(CH₂CH₂COOH)-; and

10

A_2 is

15



wherein X is O or S.

6. A compound of claim 1, which is one of
- 4-(Benzothiazol-6-ylamino)-6-(ethyl-benzylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(methyl-benzylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(benzylamino)-[1,3,5]triazin-2-ol;
5 (R)-4-(Benzothiazol-6-ylamino)-6-(1-phenylethylamino)-[1,3,5]triazin-2-ol;
(S)-4-(Benzothiazol-6-ylamino)-6-(1-phenylethylamino)-[1,3,5]triazin-2-ol;
(R)-4-(Benzothiazol-6-ylamino)-6-(methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
(S)-4-(Benzothiazol-6-ylamino)-6-(methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
(R)-4-(Benzothiazol-6-ylamino)-6-(ethyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
10 (S)-4-(Benzothiazol-6-ylamino)-6-(ethyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(2-phenylethylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(methyl-2-phenylethylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(ethyl-2-phenylethylamino)-[1,3,5]triazin-2-ol;
15 4-(Benzothiazol-6-ylamino)-6-(2-chloro-benzylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(2-fluoro-benzylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[(pyridin-3-ylmethyl)-amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(2,6-difluoro-benzylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[methyl-(2-pyridin-2-yl-ethyl)amino]-[1,3,5]triazin-2-ol;
20 4-(Benzothiazol-6-ylamino)-6-[pyridin-2-ylmethyl)-amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[benzyl-(1-benzyl-pyrrolidin-3-yl)-amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(3-fluoro-benzylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(2-chloro-6-methyl-benzylamino)-[1,3,5]triazin-2-ol;
25 4-(Benzothiazol-6-ylamino)-6-(N'-methyl-N'-phenyl-hydrazino)-[1,3,5]triazin-2-ol;
4-(benzothiazol-6-ylamino)-6-[(pyridin-4-ylmethyl)-amino]-[1,3,5]triazin-2-ol;
4-Benzothiazol-6-ylamino)-6-(2-pyridin-3-yl-ethylamino)-[1,3,5]triazin-2-ol;
4-Benzothiazol-6-ylamino)-6-(1-phenyl-propylamino)-[1,3,5]triazin-2-ol;

- 4-Benzothiazol-6-ylamino)-6-(2-pyridin-2-yl-ethylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(1-naphthalen-1-yl-ethylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(3-hydroxymethyl-phenylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(quinolin-5-ylamino)-[1,3,5]triazin-2-ol;
5 4-(Benzothiazol-6-ylamino)-6-(4-hydroxy-naphthalen-1-ylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(1H-indazol-6-ylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[(1H-indazol-6-yl)-methylamino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(1-methyl-1H-indazol-6-ylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(6-hydroxy-naphthalen-1-ylamino)-[1,3,5]triazin-2-ol;
10 4-(Benzothiazol-6-ylamino)-6-(3-hydroxy-phenylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[2-(2-hydroxyethyl)-phenylamino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(5-thiophen-2-yl-2H-pyrazol-3-ylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(2-phenyl-2H-pyrazol-3-ylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(2,4-difluoro-benzylamino)-[1,3,5]triazin-2-ol;
15 4-(Benzothiazol-6-ylamino)-6-phenylamino-[1,3,5]triazin-2-ol;
4-(1H-Indazol-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(2-hydroxy-1-phenylethylamino)-[1,3,5]triazin-2-ol;
4-(1H-Indazol-5-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-7-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
20 4-(Benzothiazol-6-ylamino)-6-[(furan-2-yl-methyl)amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[(thiophen-2-yl-methyl)amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[(furan-3-ylmethyl)-amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[(thiophen-3-yl-methyl)amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(benzyl-pyrrolidin-3-ylamino)-[1,3,5]triazin-2-ol;
25 3-{{4-(Benzothiazol-6-ylamino)-6-hydroxy-[1,3,5]triazin-2-yl}-benzylamino}-propane-1,2-
diol;
4-(Benzothiazol-6-ylamino)-6-[benzyl-(3-morpholin-4-ylpropyl)-amino]-[1,3,5]triazin-2-
ol;

- 4-(Benzothiazol-6-ylamino)-6-{benzyl-[3-(4-methyl-piperazin-1-yl)-propyl]-amino}-
[1,3,5]triazin-2-ol;
- 4-(Benzothiazol-6-ylamino)-6-[benzyl-(3-dimethylamino-propyl)-amino]-[1,3,5]triazin-2-
ol;
- 5 4-(Benzothiazol-6-ylamino)-6-[benzyl-(2-piperazin-1-ylethyl)-amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[benzyl-(2-morpholin-4-ylethyl)-amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[benzyl-(2-dimethylamino-ethyl)-amino]-[1,3,5]triazin-2-ol;
4-(2-Amino-benzothiazol-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
4-(1-Methyl-1-phenylethylamino)-6-(quinolin-6-ylamino)-[1,3,5]triazin-2-ol;
- 10 4-(Quinolin-6-ylamino)-6-(N-ethylbenzylamino)-[1,3,5]triazin-2-ol;
4-(Quinolin-6-ylamino)-6-(N-methylbenzylamino)-[1,3,5]triazin-2-ol;
4-(Quinolin-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;
N-[4-(Benzothiazol-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-yl]-
hydroxylamine;
- 15 4-(Benzothiazol-6-ylamino)-6-[(4-fluoro-3-trifluoromethylbenzyl)amino]-[1,3,5]triazin-2-
ol;
4-(Quinolin-6-ylamino)-6-[(4-fluoro-3-trifluoromethylbenzyl)amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(ethyl-(pyridin-2-ylmethyl)amino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(N-benzylisopropylamino)-[1,3,5]triazin-2-ol;
- 20 4-(Benzothiazol-6-ylamino)-6-(ethyl-(2-fluorobenzyl)amino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[benzyl-(2,2,2-trifluoroethyl)amino]-[1,3,5]triazin-2-ol;
3-[[4-(Benzothiazol-6-ylamino)-6-hydroxy-[1,3,5]triazin-2-yl]-(1-
phenylethyl)amino]propane-1,2-diol;
4-(Benzothiazol-6-ylamino)-6-(ethyl-(pyridin-2-ylmethyl)amino)-[1,3,5]triazin-2-ol;
- 25 4-(Benzothiazol-6-ylamino)-6-(N-(2-fluorobenzyl)isopropylamino)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[ethyl-(1H-indazol-6-yl)amino]-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-{benzyl-[2-(3H-imidazol-4-yl)ethyl]amino}-[1,3,5]triazin-
2-ol;

4-(Benzothiazol-6-ylamino)-6-{2-fluorobenzyl-[2-(3H-imidazol-4-yl)ethyl]amino}-
[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-[benzyl-(3-imidazol-1-yl-propyl)amino]-[1,3,5]triazin-2-ol;
4-{{4-(Benzothiazol-6-ylamino)-6-hydroxy-[1,3,5]triazin-2-yl}-benzylamino}butyric acid;
5 4-(Benzothiazol-6-ylamino)-6-{{(2-piperazin-1-ylethyl)-quinolin-5-ylamino}-[1,3,5]triazin-
2-ol; 4-(Benzothiazol-6-ylamino)-6-{benzyl-[2-(3H-imidazol-4-yl)ethyl]amino}-
[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-ylamino)-6-(N-benzylpropylamino)-[1,3,5]triazin-2-ol;
and pharmaceutically acceptable salts thereof.

10

7. A compound of claim 3, which is one of

4-(Benzothiazol-6-yl-amino)-6-(2-methyl-pyrrolidin-1-yl)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-yl-amino)-6-(2-benzyl-pyrrolidin-1-yl)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-yl-amino)-6-(2,6-dimethyl-piperidin-1-yl)-[1,3,5]triazin-2-ol;
15 4-(Benzothiazol-6-yl-amino)-6-(2,5-dimethyl-pyrrolidin-1-yl)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-yl-amino)-6-(2-phenyl-pyrrolidin-1-yl)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-yl-amino)-6-(3-phenyl-thiomorpholin-4-yl)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-yl-amino)-6-(2-phenyl-thiomorpholin-4-yl)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-yl-amino)-6-(thiomorpholin-4-yl)-[1,3,5]triazin-2-ol;
20 4-(Benzothiazol-6-yl-amino)-6-(3-methyl-piperidin-1-yl)-[1,3,5]triazin-2-ol;
4-(Benzothiazol-6-yl-amino)-6-(morpholin-4-yl)-[1,3,5]triazin-2-ol;
and pharmaceutically acceptable salts thereof.

25 8. A pharmaceutical composition, comprising a compound of any one of claims 1 to 4
and a pharmaceutically acceptable carrier.

9. A pharmaceutical composition, comprising a compound of claim 5 and a
pharmaceutically acceptable carrier.

30

10. A pharmaceutical composition, comprising a compound of claim 6 or 7 and a pharmaceutically acceptable carrier.
- 5 11. A method of preparing the compounds of Formulae I and III where R is -OH, comprising the steps of:
- 10 a) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with 4-methoxybenzyl alcohol to give a 2-(4-methoxybenzyloxy)-[1,3,5]triazine;
- b) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (i) to give a 4-amino-2-(4-methoxybenzyloxy)-[1,3,5]triazine; and
- 15 c) displacing the third displaceable group with a primary or secondary alkyl or aromatic amine (ii) under microwave conditions with concomitant loss of the p-methoxybenzyl group to give a 4,6-diamino-(2-hydroxy)-[1,3,5]triazine.
- 20 12. A method of preparing the compounds of Formulae II and IV, comprising the steps of:
- 25 a) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with 4-methoxybenzyl alcohol to give a 2-(4-methoxybenzyloxy)-[1,3,5]triazine;
- b) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (i) to give a 4-amino-2-(4-methoxybenzyloxy)-[1,3,5]triazine;
- 30 c) displacing the third displaceable group with a primary or secondary alkyl or aromatic amine (ii) under microwave conditions with concomitant loss of the p-methoxybenzyl group to give a 4,6-diamino-(2-hydroxy)-[1,3,5]triazine; and
- 35 d) adding an acylating, sulfonylating or phosphorylating agent to the 4,6-diamino-(2-hydroxy)-[1,3,5]triazine to give a 4,6-diamino-(2-O-acyl)-[1,3,5]triazine, a 4,6-diamino-(2-O-sulfonyl)-[1,3,5]triazine or a 4,6-diamino-(2-O-phosphoryl)-[1,3,5]triazine, respectively.
- 40 13. A method of claim 11 or 12, wherein the displaceable groups are chlorines.

14. A method of preparing the compounds of Formulae I and III where R is -OH, comprising the steps of:

5 aa) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with a primary or secondary alkyl or aromatic amine (i) to give a 2-amino-[1,3,5]triazine;

10 bb) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (ii) to give a 2,4-diamino-[1,3,5]triazine; and

cc) displacing the third displaceable group with water under acidic conditions to give a 4,6-diamino-(2-hydroxy)-[1,3,5]triazine.

15 15. A method of preparing the compounds of Formulae I and III where R is -NHOH, comprising the steps of:

20 aa) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with a primary or secondary alkyl or aromatic amine (i) to give a 2-amino-[1,3,5]triazine;

bb) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (ii) to give a 2,4-diamino-[1,3,5]triazine; and

25 cc) displacing the third displaceable group with hydroxylamine under acidic conditions to give a 4,6-diamino-([1,3,5]triazin-2-yl)-hydroxylamine.

30 16. A method of preparing the compounds of Formulae II and IV, comprising the steps of:

35 aa) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with a primary or secondary alkyl or aromatic amine (i) to give a 2-amino-[1,3,5]triazine;

bb) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (ii) to give a 2,4-diamino-[1,3,5]triazine;

40 cc) displacing the third displaceable group with water under acidic conditions to give a 4,6-diamino-(2-hydroxy)-[1,3,5]triazine; and

dd) adding an acylating, sulfonylating or phosphorylating agent to the 4,6-diamino-(2-hydroxy)-[1,3,5]triazine to give a 4,6-diamino-(2-O-acyl)-[1,3,5]triazine, a 4,6-diamino-(2-O-sulfonyl)-[1,3,5]triazine or a 4,6-diamino-(2-O-phosphoryl)-[1,3,5]triazine, respectively.

5

17. A method for inhibiting protein tyrosine kinase activity, comprising contacting the kinase with an effective inhibitory amount of at least one compound of any one of claims 1 to 4.

10

18. A method for inhibiting protein tyrosine kinase activity, comprising contacting the kinase with an effective inhibitory amount of at least one compound of claim 5.

15

19. A method for inhibiting protein tyrosine kinase activity, comprising contacting the kinase with an effective inhibitory amount of at least one compound of claim 6 or 7.

20

20. A method for inhibiting protein tyrosine kinase activity in vitro, comprising contacting the kinase with at least one compound of any one of claims 1 to 4.

25

21. A method for inhibiting protein tyrosine kinase activity in vitro, comprising contacting the kinase with at least one compound of claim 5.

30

22. A method for inhibiting protein tyrosine kinase activity in vitro, comprising contacting the kinase with at least one compound of claim 6 or 7.

35

23. A method for inhibiting protein tyrosine kinase activity in cells, comprising contacting the kinase with at least one compound of any one of claims 1 to 4.

40

24. A method for inhibiting protein tyrosine kinase activity in cells, comprising contacting the kinase with at least one compound of claim 5.

40

25. A method for inhibiting protein tyrosine kinase activity in cells, comprising contacting the kinase with at least one compound of claim 6 or 7.

26. A method for inhibiting protein tyrosine kinase activity in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.

5

27. A method for inhibiting protein tyrosine kinase activity in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.

10

28. A method for inhibiting protein tyrosine kinase activity in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.

15

29. A method according to claim 17, wherein the protein tyrosine kinase is VEGFR-2 (KDR), c-fms, c-met or tie-2.

20

30. A method according to claim 26, wherein the protein tyrosine kinase is VEGFR-2 (KDR), c-fms, c-met or tie-2.

25

31. A method of treating cancer in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.

30

32. A method of treating cancer in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.

35

33. A method of treating cancer in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.

40

34. A method of treating vascular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.

35. A method of treating vascular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.
- 5 36. A method of treating vascular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.
- 10 37. A method of treating ocular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.
- 15 38. A method of treating ocular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.
- 20 39. A method of treating ocular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.
- 25 40. A method of treating restenosis in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.
- 30 41. A method of treating restenosis in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.
- 35 42. A method of treating restenosis in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.
- 40 43. A pharmaceutical dosage form comprising a pharmaceutically acceptable carrier and from about 0.5 mg to about 10 g of at least one compound of any one of claims 1 to 7.
44. A dosage form according to claim 43 adapted for parenteral or oral administration.